STN- Structure Search 1-8-04

=> d ibib abs hitstr 1-14

L4 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:818397 CAPLUS
DOCUMENT NUMBER: 139:292500
TITLE: Synthesis of 1-(D-cyclopropylglycinyl)-4-(piperidin-4-yl)piperazine compounds and their effectiveness as inhibitors of the serine protease factor Xa for use in the treatment of thrombotic disorders in humans or animals
INVENTOR(S): Wiley, Michael Robert; Engel, Gary Lowell
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2

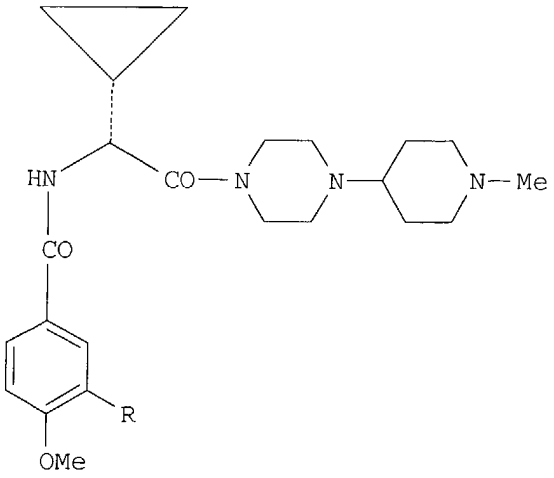
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084929	A1	20031016	WO 2003-US7794	20030324

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-368523P P 20020401
GI

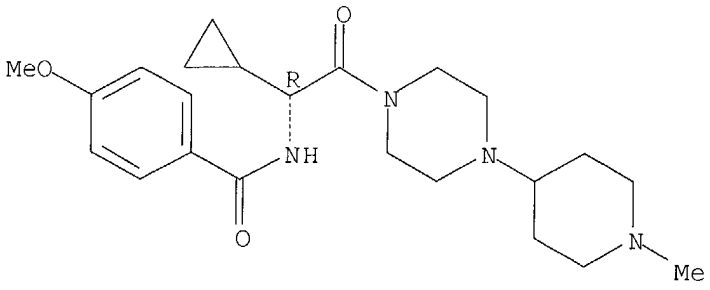


I

AB The compds. of formula (I; R = H, F) or pharmaceutically acceptable salts thereof are Factor Xa inhibitors useful in the treatment of thrombotic disorders. Synthesis of the title compds. and their mono- or di-

CMF C23 H34 N4 O3

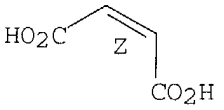
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

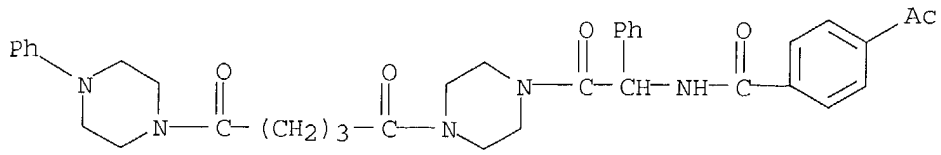


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

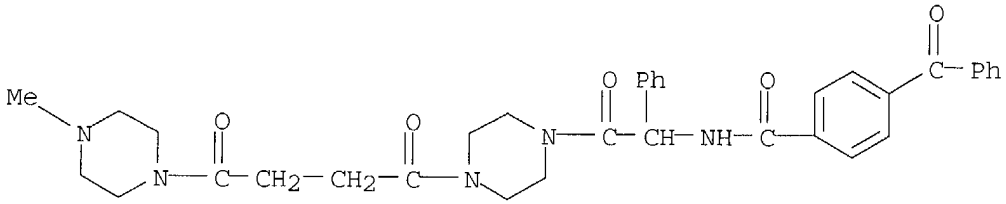
L4 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:814268 CAPLUS
DOCUMENT NUMBER: 137:333140
TITLE: Guanylhydrazone inhibitors of protein production from AU-rich element-containing mRNAs, their synthesis and use in therapy
INVENTOR(S): Giordano, Tony; Sturgess, Michael A.
PATENT ASSIGNEE(S): Message Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083842	A2	20021024	WO 2002-US10898	20020408
WO 2002083842	A3	20030501		
W: AU, CA, CH, DE, DK, ES, GB, JP, NO, SE, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 2003199453	A1	20031023	US 2002-117955	20020408

PRIORITY APPLN. INFO.: US 2001-282974P P 20010410
OTHER SOURCE(S): MARPAT 137:333140
AB The invention features guanylhydrazone compds. R1C(:X)C6H4CONHCH(CH2R2)CON(R3)(CH2)mN(R4)COCH(CH2R5)NHCOC6H4C(R6):NNHC(:NH)NH2 (I; R1,R6 = alkyl, aryl; R2,R5 = H, alkyl, aryl; R3,R4 = H, alkyl; X = O, H2N(HN:)CNHN-; m .gtoreq. 2) that inhibit secretion of a protein



RN 473913-82-5 CAPLUS
CN Benzamide, 4-benzoyl-N-[2-[4-[4-(4-methyl-1-piperazinyl)-1,4-dioxobutyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

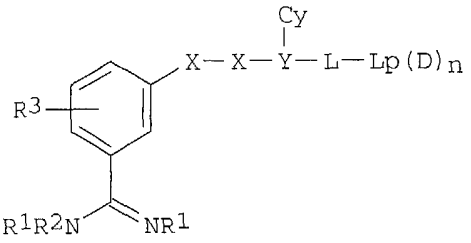


L4 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:354079 CAPLUS
DOCUMENT NUMBER: 136:355487
TITLE: Preparation of meta-benzamidine derivatives of amino acids or dipeptides as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Wylie, William Alexander; Waszkowycz, Bohdan; Murray, Christopher William; Rimmer, Andrew David; Welsh, Pauline Mary; Jones, Stuart Donald; Roscoe, Jonathan Michael Ernest; Young, Stephen Clinton; Morgan, Phillip John
PATENT ASSIGNEE(S): UK
SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S. Ser. No. 485,678.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002055522	A1	20020509	US 2001-988082	20011119
WO 9911658	A1	19990311	WO 1998-GB2605	19980828
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2000077027	A2	20001221	WO 2000-GB2291	20000613
WO 2000077027	A3	20010525		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,				

ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2003216403 A1 20031120 US 2003-296245 20030514
PRIORITY APPLN. INFO.: GB 1997-18392 A 19970829
GB 1998-3173 A 19980213
WO 1998-GB2605 W 19980828
GB 1999-13823 A 19990614
US 1999-142064P P 19990702
US 2000-485678 A2 20000225
WO 2000-GB2291 A2 20000613
GB 1999-18741 A 19990809
GB 1999-29552 A 19991214
GB 1999-29553 A 19991214
WO 2001-GB2566 W 20010612
OTHER SOURCE(S): MARPAT 136:355487

GI



I

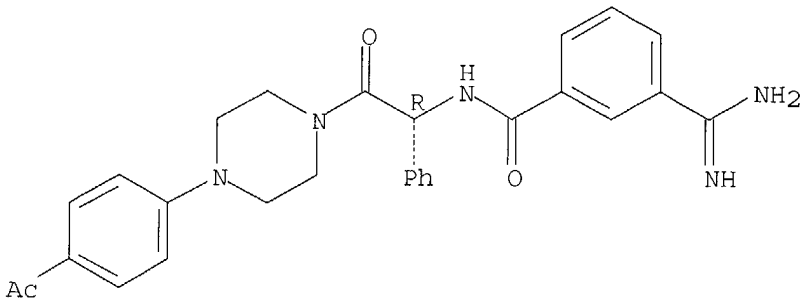
AB Title compds. I [R1, R2 = H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulfonyl, alkylsulfenyl, alkylsulfonamido, alkylaminosulfonyl, haloalkoxy, haloalkyl; X = C, N, O, S, CO, CR1, C(R1)2, NR1 with at least one X being C, CO, CR1 or C(R1)2, with the proviso that if the benzamidine group is unsubstituted and the X-X group is -CH2C(R1)2-, then R1 = H or attached to the alkylene carbon atom by a heteroatom; L = org. linker contg. 1-5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y = N, CR1; YL = cyclic group; Cy = (un)satd., (poly)cyclic, (hetero)cyclic group optionally substituted by groups R3 or Ph optionally substituted by R3; Lp = lipophilic alkyl, heterocyclic, alkenyl, alkaryl, (poly)cycloalkyl, cycloalkenyl, aryl, aralkyl, haloalkyl, or a combination of two or more such groups optionally substituted by oxa, oxo, aza, thio, halo, amino, hydroxy or by R3; D = H bond donor group; n = 0-2], or corresponding compds. in which the (un)substituted amidino group R1R2NC(:NR1) is replaced with an (un)substituted aminomethyl group, or their physiol. tolerable salts were prepd. as serine protease inhibitors useful as antithrombotic agents. 3-Amidino- and 3-(aminomethyl)benzoyl-D-phenylglycine 4-aminomethylcyclohexylmethylamide are among 190 compds. synthesized.

IT **221237-68-9P 221237-74-7P 221237-81-6P**
221237-90-7P 221237-98-5P 221238-06-8P
221240-62-6P 221240-91-1P 221240-97-7P
221241-03-8P 221241-09-4P 221241-14-1P
221241-19-6P 221241-24-3P 221241-29-8P
221242-18-8P 221242-26-8P 221242-30-4P
221242-34-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(prepn. of meta-benzamidine derivs. of amino acids or dipeptides as serine protease inhibitors)

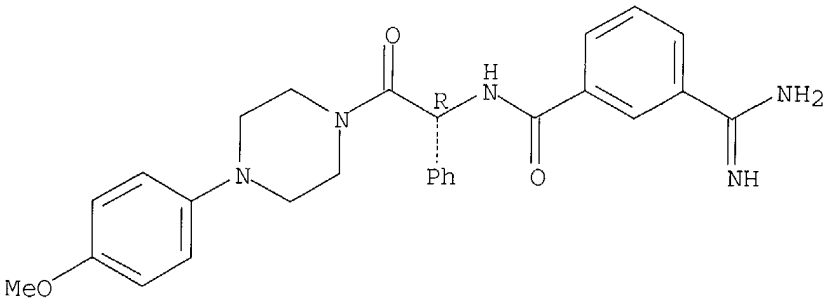
RN 221237-68-9 CAPLUS
CN Benzamide, N-[(1R)-2-[4-(4-acetylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-3-(aminoiminomethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



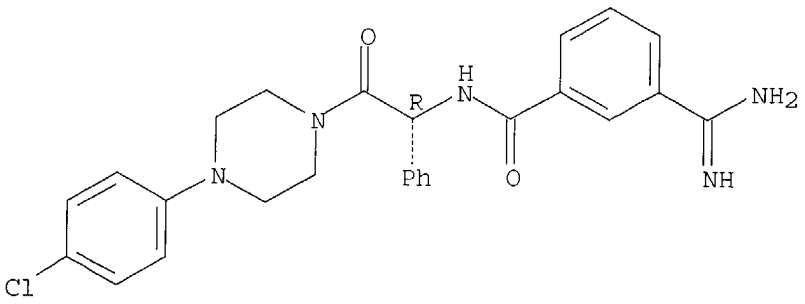
RN 221237-74-7 CAPLUS
CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-methoxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221237-81-6 CAPLUS
CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

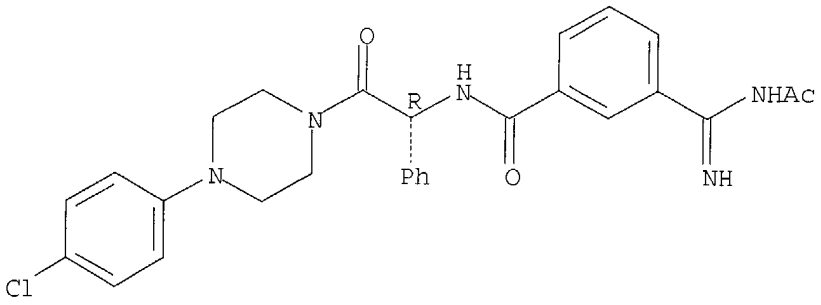
Absolute stereochemistry.



RN 221237-90-7 CAPLUS
CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-oxo-1-phenyl-2-(4-phenyl-1-

RN 221242-34-8 CAPLUS
CN Benzamide, 3-[(acetylamino)iminomethyl]-N-[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

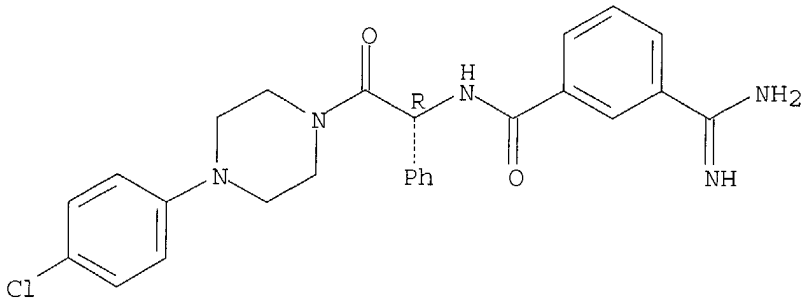


L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:123665 CAPLUS
DOCUMENT NUMBER: 136:303572
TITLE: PROSELECT: Combining Structure-Based Drug Design and Array-Based Chemistry for Rapid Lead Discovery. 2. The Development of a Series of Highly Potent and Selective Factor Xa Inhibitors
AUTHOR(S): Liebeschuetz, John W.; Jones, Stuart D.; Morgan, Phillip J.; Murray, Chris W.; Rimmer, Andrew D.; Roscoe, Jonathan M. E.; Waszkowycz, Bohdan; Welsh, Pauline M.; Wylie, William A.; Young, Stephen C.; Martin, Harry; Mahler, Jacqui; Brady, Leo; Wilkinson, Kay
CORPORATE SOURCE: Protherics Molecular Design, Macclesfield, SK11 0JL, UK
SOURCE: Journal of Medicinal Chemistry (2002), 45(6), 1221-1232
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In silico screening of combinatorial libraries prior to synthesis promises to be a valuable aid to lead discovery. PROSELECT, a tool for the virtual screening of libraries for fit to a protein active site, has been used to find novel leads against the serine protease factor Xa. A small seed template was built upon using three iterations of library design, virtual screening, synthesis, and biol. testing. Highly potent mols. with selectivity for factor Xa over other serine proteases were rapidly obtained.
IT **410527-83-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(combining structure-based drug design and array-based chem. for development of a series of potent and selective factor Xa inhibitors)
RN 410527-83-2 CAPLUS
CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

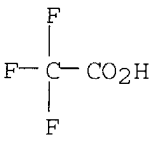
CRN 221237-81-6
CMF C26 H26 Cl N5 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

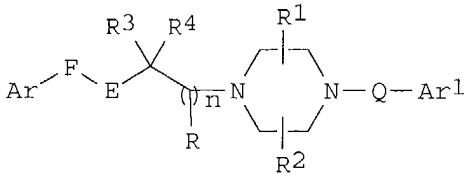


REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:43035 CAPLUS
DOCUMENT NUMBER: 136:102404
TITLE: Synthesis of disubstituted piperazinyl derivatives as CCR-3 receptor antagonists
INVENTOR(S): Gong, Leyi; Kertesz, Denis John; Smith, David Bernard; Talamas, Francisco Xavier; Wilhelm, Robert Stephen
PATENT ASSIGNEE(S): Syntex (U.S.A.) LLC, USA
SOURCE: U.S., 41 pp., Cont.-in-part of U.S. Ser. No. 134,013.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6339087	B1	20020115	US 1998-197282	19981120
US 6323223	B1	20011127	US 1998-134013	19980814
US 2003153577	A1	20030814	US 2001-942204	20010829

PRIORITY APPLN. INFO.: US 1997-56001P P 19970818
US 1998-134013 A2 19980814
US 1998-197282 A3 19981120
OTHER SOURCE(S): MARPAT 136:102404
GI



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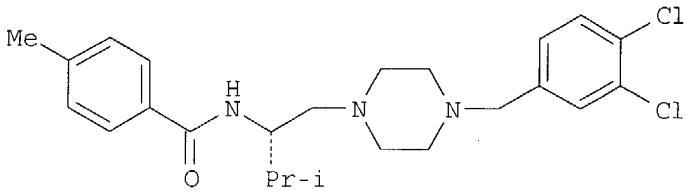


II

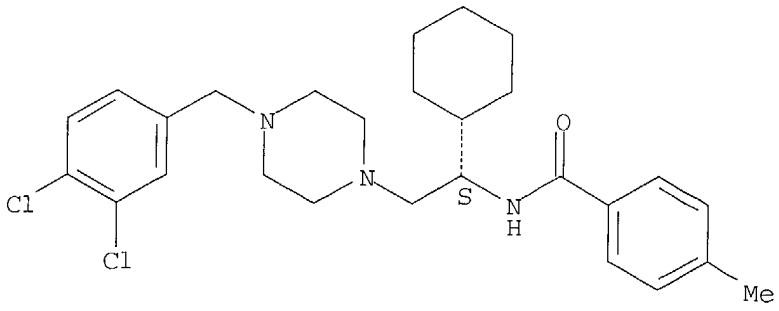
AB Title compds. I [R1-2 = H, alkyl; m = 0-3; F = alkylene, alkenylene, bond; R = H, alkyl or R together with R4 and the atoms to which they are attached form a carbocycle; R3 = H; R4 = alkyl, haloalkyl, cycloalkyl, alkyl-SO0-2, alkylene-C(O)-Z, where Z = alkoxy, hydroxyalkyl; E = ureido, thioureido, amido, carboxamido,Ar = substituted aryl optionally substituted with one, two or three alk(en)yl, alkoxy, haloalkoxy, halo, aryl, heteroaryl, etc.; Ar1 = (un)substituted aryl, optionally substituted with one, two or three alkyl, heteroalkyl, alkoxy, halo, haloalkyl, haloalkoxy, alkylthio, methylenedioxy, nitro, amino or a combination thereof; Q = alkylene-W, where W = bond, O, S, O2C, carboxamido or C(O)] were prepd. For example, N-Boc-piperazine was alkylated with 3,4-dichlorobenzyl bromide (CHCl3, Et3N, 1 h), deprotected (CHCl3, TFA, 1 h) and coupled to Boc-L-valine (CH2Cl2, EDCI, 2 h) to give the N-protected piperazinylamide intermediate. Deprotection (MeOH, HCl, 70.degree.C, 2.5 h) followed by amide redn. (THF, BH3, reflux, 2 h) and acylation with p-toluoyl chloride (CH2Cl2, Et3N, 1 h) yielded II which was isolated as the dihydrochloride salt. The IC50 value (concn. of test compd. required to reduce 125I-eotaxin binding to the CCR-3 L 1.2 transfected cells by 50%) for selected compds. I was 0.24 - 3.52 .mu.M. Compds. I are useful in treating inflammatory or allergic diseases, e.g., asthma, allergic rhinitis, etc.

IT **220771-17-5P 220771-29-9P 220771-30-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis of disubstituted piperazinyl derivs. as CCR-3 receptor antagonists)

RN 220771-17-5 CAPLUS

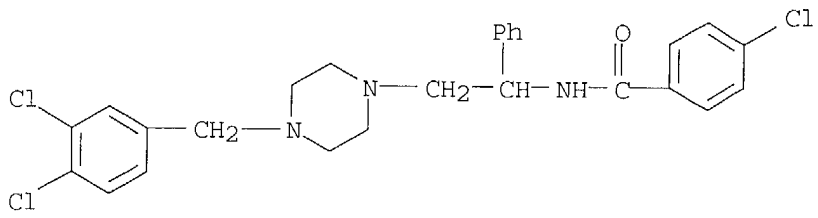
CN Benzamide, N-[(1S)-1-cyclohexyl-2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]ethyl]-4-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

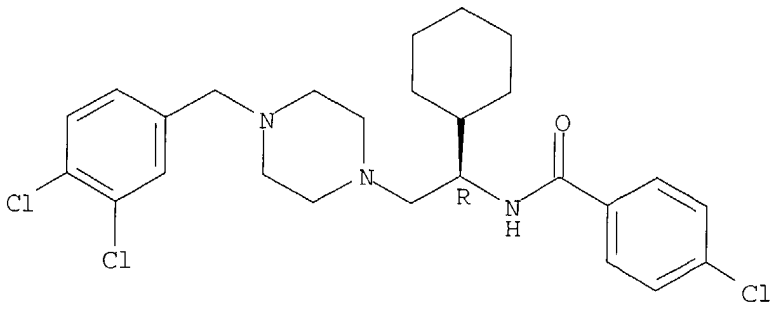
RN 220771-29-9 CAPLUS
CN Benzamide, 4-chloro-N-[2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]-1-phenylethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 220771-30-2 CAPLUS
CN Benzamide, 4-chloro-N-[(1R)-1-cyclohexyl-2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:923784 CAPLUS
DOCUMENT NUMBER: 136:54020

09/926,712

TITLE: Preparation of amino acid derivatives as serine protease inhibitors

INVENTOR(S): Liebeschuetz, John Walter; Murray, Christopher William; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott Martin; Engel, David Birenbaum; Watson, Brian Morgan; Guzzo, Peter Robert; Mayer, Michael John

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 191 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096323	A1	20011220	WO 2001-GB2553	20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
WO 2000076971	A3	20010802		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1289972	A1	20030312	EP 2001-936686	20010612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011451	A	20030624	BR 2001-11451	20010612
US 2003055246	A1	20030320	US 2002-30187	20020204
WO 2002100847	A2	20021219	WO 2002-US16569	20020606
WO 2002100847	A3	20030821		
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NO 2002005665	A	20021125	NO 2002-5665	20021125
US 2003216403	A1	20031120	US 2003-296245	20030514

PRIORITY APPLN. INFO.:

WO 2000-GB2302	W	20000613
GB 2000-30304	A	20001213
GB 1999-13823	A	19990614
US 1999-142064P	P	19990702

GB	1999-18741	A	19990809
GB	1999-29553	A	19991214
WO	2001-GB2553	W	20010612
WO	2001-GB2566	W	20010612
US	2001-339295P	P	20011212

OTHER SOURCE(S): MARPAT 136:54020

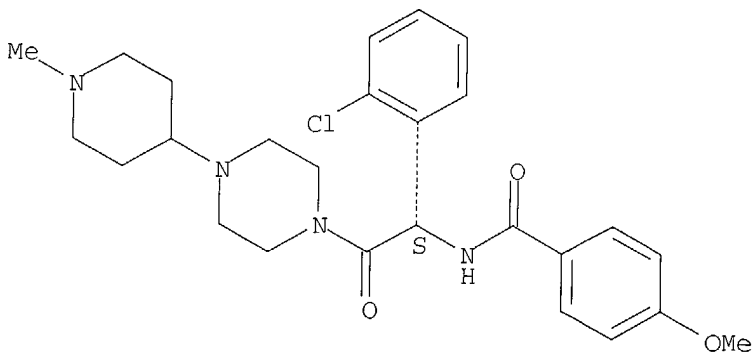
AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 is a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5- or 6-membered carbocyclic or heterocyclic ring, or substituted at the position alpha to X-X, with the proviso that R2 can not be aminoisoquinolyl; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group [at least one X is C, CO, CR1a or C(R1a)2], where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; -L-Lp(D)n is 4-substituted 1-piperazinecarbonyl] or their physiol.-tolerable salts were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(4-methoxybenzoyl-D-phenylglycinyl)-4-phenethylpiperazine was prepd. in the first of 82 examples.

IT **381722-41-4P**
RL: BYP (Byproduct); PREP (Preparation)
(prepn. of amino acid derivs. as serine protease inhibitors)

RN 381722-41-4 CAPLUS

CN Benzamide, N-[(1S)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



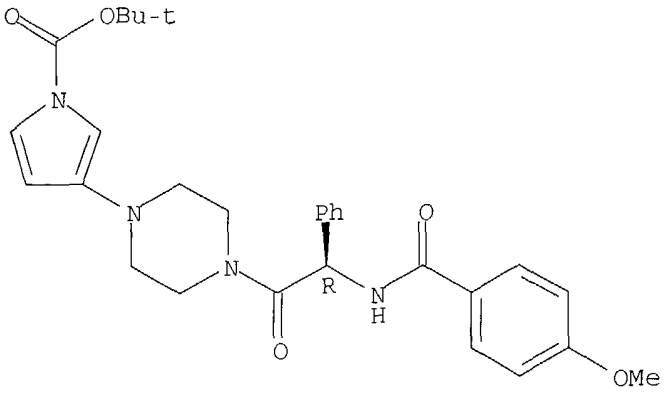
IT **313489-70-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313489-70-2 CAPLUS

CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

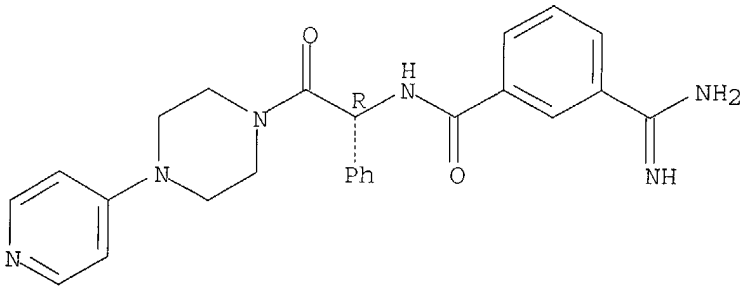
L4 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:177455 CAPLUS
DOCUMENT NUMBER: 134:353501
TITLE: The design of phenylglycine containing benzamidine carboxamides as potent and selective inhibitors of factor Xa
AUTHOR(S): Jones, S. D.; Liebeschuetz, J. W.; Morgan, P. J.; Murray, C. W.; Rimmer, A. D.; Roscoe, J. M. E.; Waszkowycz, B.; Welsh, P. M.; Wylie, W. A.; Young, S. C.; Martin, H.; Mahler, J.; Brady, L.; Wilkinson, K.
CORPORATE SOURCE: Protherics Molecular Design, Macclesfield, SK11 0JL, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(5), 733-736
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:353501

AB Factor Xa, a crit. serine protease in the blood coagulation cascade, has become a target for inhibition as a strategy for the invention of novel anti-thrombotic agents. Here we describe the development of phenylglycine contg. benzamidine carboxamides as novel, potent and selective inhibitors of factor Xa. A no. of highly focused libraries of compds. have been designed and synthesized giving rapid access to a series of potent and selective inhibitors of factor Xa. Key to the potency of these compds. is the lipophilic interaction between phenylglycine residue and the 'disulfide' pocket comprising Gln192, Cys191, Cys220 and Gly218.

IT **221237-90-7P 221238-06-8P 221241-03-8P 221241-09-4P 221241-19-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of libraries of phenylglycine contg. benzamidine carboxamides as selective inhibitors of factor Xa)

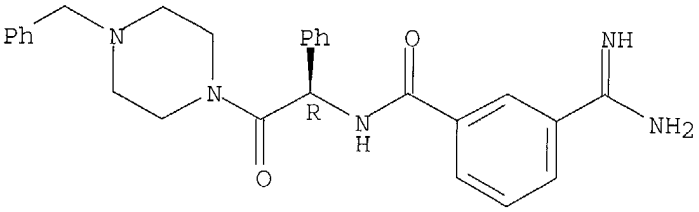
RN 221237-90-7 CAPLUS
CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-oxo-1-phenyl-2-(4-phenyl-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



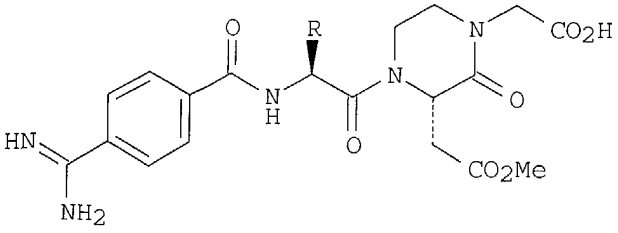
RN 221241-19-6 CAPLUS
CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:167219 CAPLUS
DOCUMENT NUMBER: 135:5586
TITLE: Novel non-peptide GPIIb/IIIa antagonists: synthesis and biological activities of 2-[4-[2-(4-amidinobenzoylamino)-2-(substituted)acetyl]-3-(2-methoxy-2-oxoethyl)-2-oxopiperazinyl]acetic acids
AUTHOR(S): Kitamura, Shuji; Fukushi, Hideto; Miyawaki, Toshio; Kawamura, Masaki; Terashita, Zen-Ichi; Sugihara, Hirosada; Naka, Takehiko
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Takeda Chemical Industries, Ltd., Osaka, 532-8686, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2001), 49(3), 258-267
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:5586
GI



I

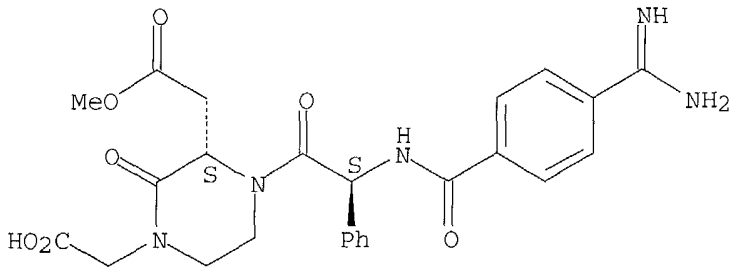
AB To improve the in vitro and in vivo potency of our first low mol. wt. GPIIb/IIIa antagonist methoxyoxoethyloxopiperazinylacetic acid I (TAK-029), a series of substituted amidinobenzoylaminoacetyl methoxyoxoethyloxopiperazinylacetic acids were synthesized through modification of the glycine moiety of I and evaluated for their ability to inhibit in vitro ADP (ADP)-induced platelet aggregation of guinea pig platelet rich plasma (PRP). Among the compds. examd., amidinobenzoylaminoacetyl methoxyoxoethyloxopiperazinylacetic acid I (R = 4-MeOC6H4CH2) showed the most potent antagonistic activity with an IC50 value of 13 nM. Dose-dependent inhibition of ex vivo platelet aggregation was achieved with oral administration of I (R = 4-MeOC6H4CH2) (0.3-1.0 mg/kg) to guinea pigs. Complete inhibition was obsd. for up to 8 h, and 43% inhibition could still be obsd. 24 h after oral administration of 1.0 mg/kg. The long-lasting antiplatelet effect of I (R = 4-MeOC6H4CH2) suggests that I would be suitable for once-a-day dosing. Structure-activity relationships (SAR) were examd. in the series of the phenylalanine derivs. An increase in the electron d. around the 4-position of the Ph ring of the phenylalanine moiety led to an increase in the antiplatelet activity, suggesting the existence of a hydrophobic and electrostatic interaction site in addn. to the ionic binding sites in the GPIIb/IIIa.

IT **340733-02-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of substituted amidinobenzoylaminoacetylmethoxyoxoethyloxopiperazinylacetic acids as GPIIb and GPIIIa antagonists for inhibition of platelet aggregation)

RN 340733-02-0 CAPLUS

CN 1,3-Piperazinediacetic acid, 4-[(2S)-[[4-(aminoiminomethyl)benzoyl]amino]phenylacetyl]-2-oxo-, .alpha.3-methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:900614 CAPLUS

DOCUMENT NUMBER: 134:56958

TITLE: Preparation of amino acid derivatives as serine protease inhibitors

INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert

PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular Design Limited
SOURCE: PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
WO 2000076971	A3	20010802		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000054140	A5	20010102	AU 2000-54140	20000613
EP 1192132	A2	20020403	EP 2000-938916	20000613
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JP 2003502314	T2	20030121	JP 2001-503831	20000613
WO 2001096296	A1	20011220	WO 2001-GB2541	20010612
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2001096303	A1	20011220	WO 2001-GB2551	20010612
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2001096323	A1	20011220	WO 2001-GB2553	20010612
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WO 2001096304	A1	20011220	WO 2001-GB2572	20010612
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BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1289972	A1	20030312	EP 2001-936686	20010612
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EP 1289950	A1	20030312	EP 2001-938386	20010612
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EP 1289953	A1	20030312	EP 2001-938403	20010612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1289954	A1	20030312	EP 2001-940716	20010612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011451	A	20030624	BR 2001-11451	20010612
US 2002151724	A1	20021017	US 2002-30186	20020204
US 2003078438	A1	20030424	US 2002-30189	20020204
US 2003109706	A1	20030612	US 2002-30188	20020204
NO 2002005665	A	20021125	NO 2002-5665	20021125
US 2003216403	A1	20031120	US 2003-296245	20030514

PRIORITY APPLN. INFO.:

GB 1999-13823	A	19990614
US 1999-142064P	P	19990702
GB 1999-18741	A	19990809
GB 1999-29553	A	19991214
WO 2000-GB2302	A	20000613
GB 2000-30303	A	20001213
GB 2000-30304	A	20001213
GB 2000-30305	A	20001213
GB 2000-30306	A	20001213
WO 2001-GB2541	W	20010612
WO 2001-GB2551	W	20010612
WO 2001-GB2553	W	20010612
WO 2001-GB2566	W	20010612
WO 2001-GB2572	W	20010612

OTHER SOURCE(S): MARPAT 134:56958

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring or substituted at the position alpha to X-X; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 .mu.M.

IT **313486-84-9P 313486-85-0P 313486-86-1P**
313486-87-2P 313486-88-3P 313486-89-4P
313486-90-7P 313486-91-8P 313486-92-9P
313486-93-0P 313486-94-1P 313486-95-2P
313486-96-3P 313486-97-4P 313486-98-5P

313486-99-6P 313487-00-2P 313487-01-3P
313487-02-4P 313487-03-5P 313487-04-6P
313487-05-7P 313487-06-8P 313487-07-9P
313487-08-0P 313487-15-9P 313487-16-0P
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313487-70-6P 313487-71-7P 313487-72-8P
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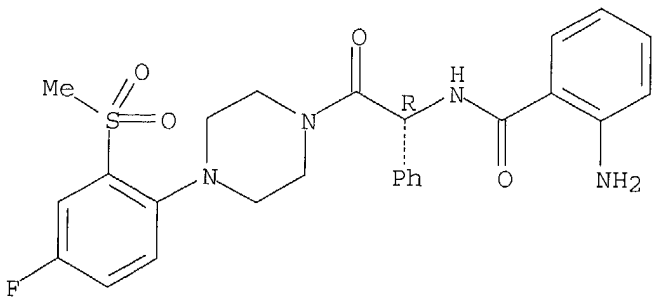
313488-13-0P 313488-14-1P 313488-16-3P
313488-18-5P 313488-19-6P 313488-24-3P
313488-26-5P 313488-28-7P 313488-30-1P
313488-36-7P 313488-50-5P 313489-20-2P
313489-21-3P 313489-22-4P 313489-23-5P
313489-24-6P 313489-25-7P 313489-27-9P
313489-28-0P 313489-29-1P 313489-30-4P
313489-58-6P 313489-59-7P 313489-60-0P
313489-61-1P 313489-62-2P 313489-63-3P
313489-64-4P 313489-65-5P 313489-66-6P
313489-67-7P 313489-70-2P 313690-67-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313486-84-9 CAPLUS

CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

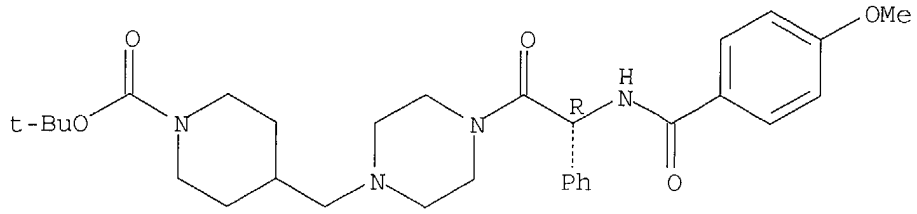
Absolute stereochemistry.



RN 313486-85-0 CAPLUS

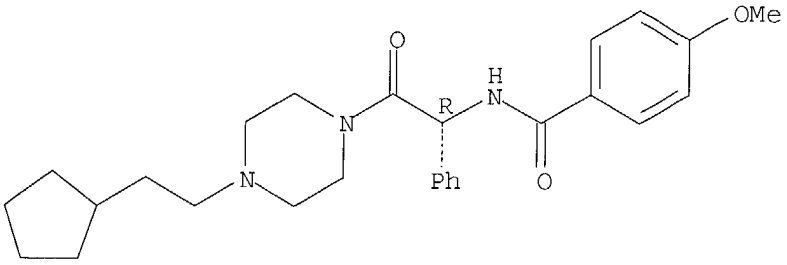
CN Benzamide, 2-amino-4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



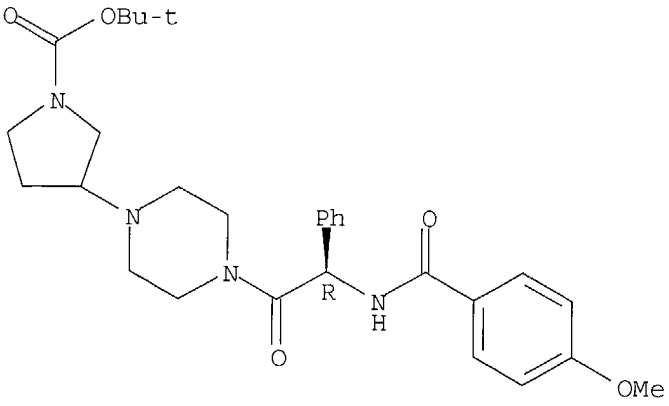
RN 313490-74-3 CAPLUS
CN Benzamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313490-75-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[4-[(2R)-[(4-methoxybenzoyl)amino]phenylacetyl]-1-piperazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:900613 CAPLUS
DOCUMENT NUMBER: 134:56957
TITLE: Preparation of amino acid derivatives as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Masters,

John Joseph; Wiley, Michael John
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular Design Limited
SOURCE: PCT Int. Appl., 350 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076970	A2	20001221	WO 2000-GB2296	20000613
WO 2000076970	A3	20010719		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1192135	A2	20020403	EP 2000-938912	20000613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2003216403	A1	20031120	US 2003-296245	20030514

PRIORITY APPLN. INFO.:

GB 1999-13823	A	19990614
US 1999-142064P	P	19990702
GB 1999-18741	A	19990809
GB 1999-29552	A	19991214
GB 1999-29553	A	19991214
WO 2000-GB2296	W	20000613
WO 2001-GB2566	W	20010612

OTHER SOURCE(S): MARPAT 134:56957

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 .mu.M.

IT **313486-84-9P 313486-85-0P 313486-86-1P**
313486-87-2P 313486-88-3P 313486-89-4P
313486-90-7P 313486-91-8P 313486-92-9P
313486-93-0P 313486-94-1P 313486-95-2P
313486-96-3P 313486-97-4P 313486-98-5P
313486-99-6P 313487-00-2P 313487-01-3P
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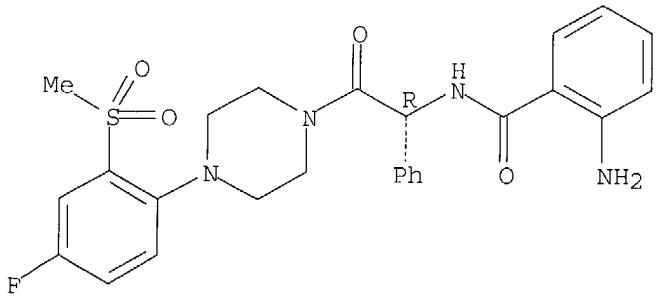
313489-58-6P 313489-59-7P 313489-60-0P
313489-61-1P 313489-62-2P 313489-63-3P
313489-64-4P 313489-65-5P 313489-66-6P
313489-67-7P 313489-70-2P 313690-67-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid derivs. as serine protease inhibitors)

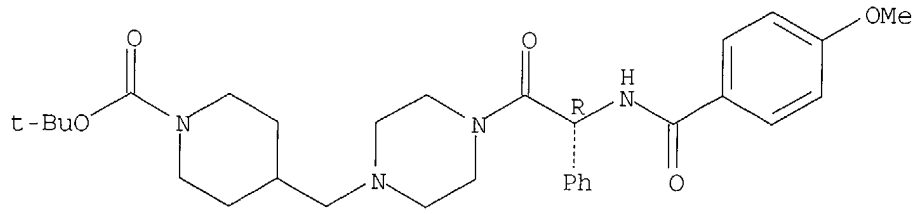
RN 313486-84-9 CAPLUS
CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



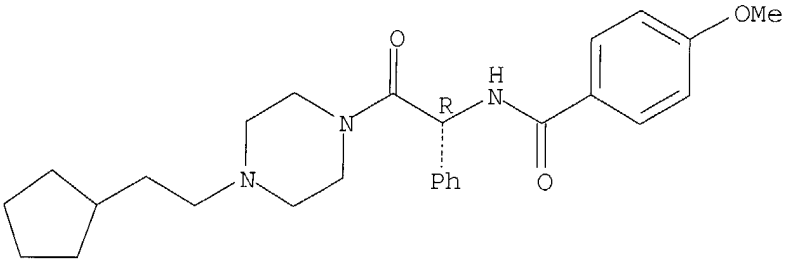
RN 313486-85-0 CAPLUS
CN Benzamide, 2-amino-4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



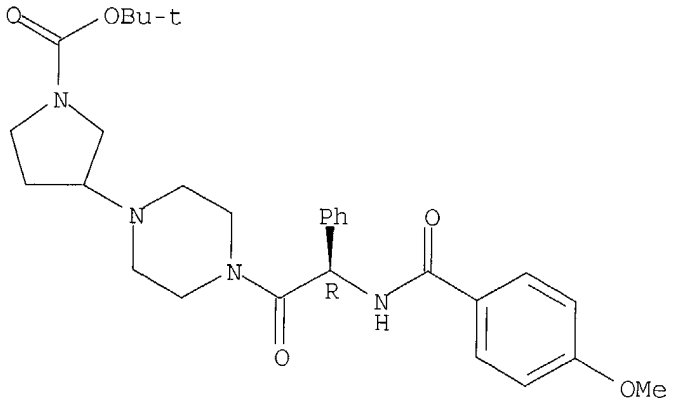
RN 313490-74-3 CAPLUS
CN Benzamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313490-75-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[4-[(2R)-[(4-methoxybenzoyl)amino]phenylacetyl]-1-piperazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:184269 CAPLUS
DOCUMENT NUMBER: 130:237884
TITLE: Preparation of meta-benzamidine derivatives of amino acids or dipeptides as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Wylie, William Alexander; Waszkowycz, Bohdan; Murray, Christopher William; Rimmer, Andrew David; Welsh, Pauline Mary; Jones, Stuart Donald; Roscoe, Jonathan Michael Ernest; Young, Stephen Clinton; Morgan, Phillip John
PATENT ASSIGNEE(S): Proteus Molecular Design Ltd., UK

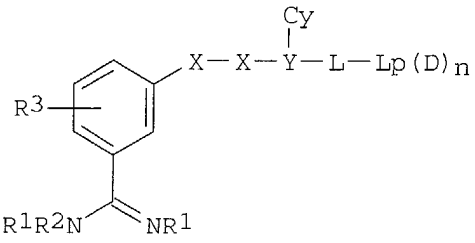
09/926,712

SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911658	A1	19990311	WO 1998-GB2605	19980828
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9888757	A1	19990322	AU 1998-88757	19980828
EP 1009758	A1	20000621	EP 1998-940430	19980828
R: DE, FR, GB, IT				
US 2002055522	A1	20020509	US 2001-988082	20011119
US 2003216403	A1	20031120	US 2003-296245	20030514

PRIORITY APPLN. INFO.:	GB 1997-18392	A	19970829
	GB 1998-3173	A	19980213
	WO 1998-GB2605	W	19980828
	GB 1999-13823	A	19990614
	US 1999-142064P	P	19990702
	US 2000-485678	A2	20000225
	WO 2000-GB2291	A2	20000613
	WO 2001-GB2566	W	20010612

OTHER SOURCE(S): MARPAT 130:237884
GI



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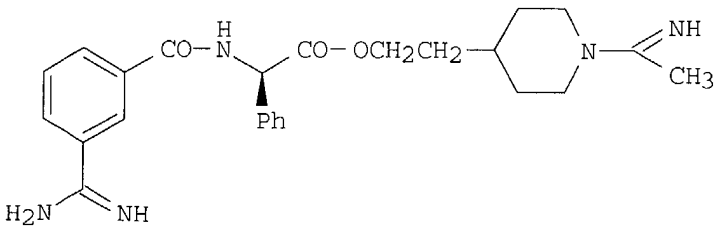
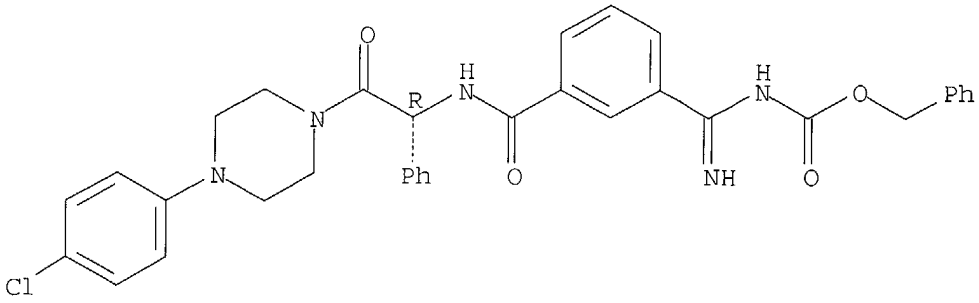


II

AB Title compds. I [R1, R2 = H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulfonyl, alkylsulfenyl, alkylsulfonamido, alkylaminosulfonyl,

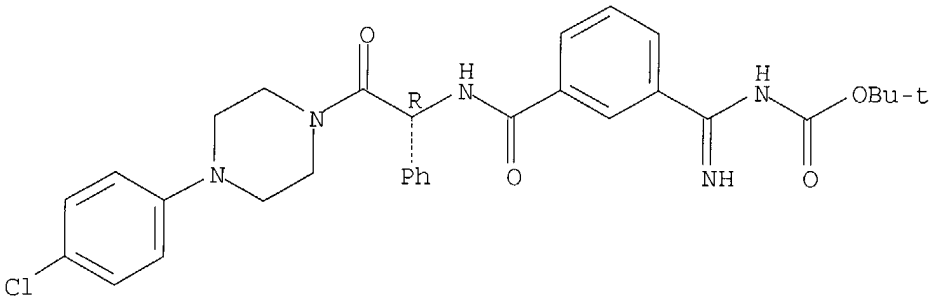
phenylethyl]amino]carbonyl]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



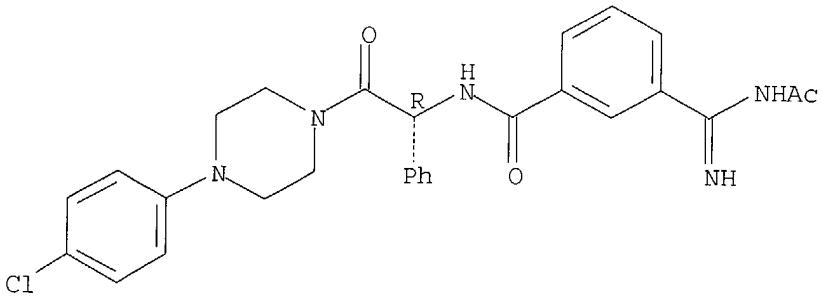
RN 221242-30-4 CAPLUS
CN Carbamic acid, [[3-[[[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]phenyl]iminomethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221242-34-8 CAPLUS
CN Benzamide, 3-[(acetylamino)iminomethyl]-N-[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



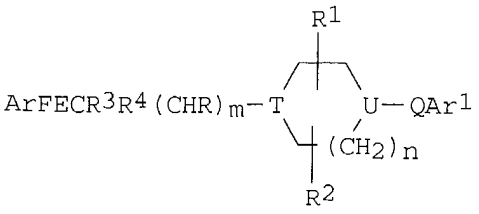
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:147946 CAPLUS

DOCUMENT NUMBER: 130:196670
TITLE: Arylcarbamoylalkylpiperazines and -piperidines as CCR-3-receptor antagonists
INVENTOR(S): Gong, Leyi; Kertesz, Denis John; Smith, David Bernard; Talamas, Francisco Xavier; Wilhelm, Robert Stephen
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: Ger. Offen., 60 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19837386	A1	19990225	DE 1998-19837386	19980818
EP 903349	A2	19990324	EP 1998-114971	19980810
EP 903349	A3	20000524		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NZ 331319	A	20000327	NZ 1998-331319	19980811
CA 2245043	AA	19990218	CA 1998-2245043	19980814
ES 2154167	A1	20010316	ES 1998-1760	19980814
ES 2154167	B1	20011101		
NO 9803749	A	19990219	NO 1998-3749	19980817
GB 2330580	A1	19990428	GB 1998-17910	19980817
AU 9880800	A1	19990225	AU 1998-80800	19980818
AU 744059	B2	20020214		
FR 2767826	A1	19990305	FR 1998-10504	19980818
CN 1211572	A	19990324	CN 1998-117990	19980818
CN 1107061	B	20030430		
JP 11147872	A2	19990602	JP 1998-231918	19980818
JP 3014367	B2	20000228		
SG 70110	A1	20000125	SG 1998-3133	19980818
BR 9803179	A	20000328	BR 1998-3179	19980818
IT 1304150	B1	20010308	IT 1998-MI1902	19980818

PRIORITY APPLN. INFO.: US 1997-56001P P 19970818
OTHER SOURCE(S): MARPAT 130:196670
GI



I

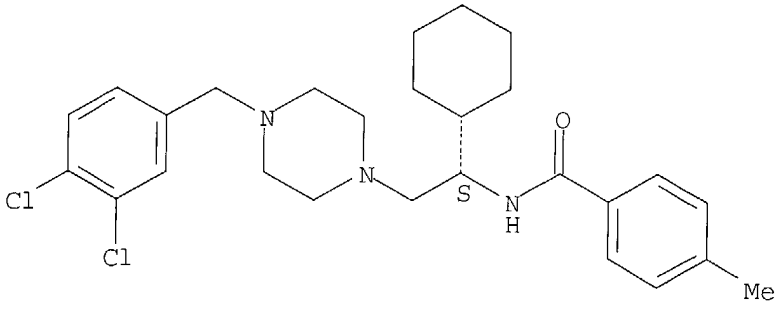
AB Title compds. I [Ar, Ar1 = aryl, heteroaryl; E = (un)substituted CONH, SO2NH, NHCONH, NHSO2NH, NHCSNH, NHCO, NHCO2, O2CNH, NHSO2; F = alkylene, alkenylene; R = H, alkyl; R1, R2 = H, alkyl; R3, R4 = H, (un)substituted alkyl, cycloalkyl, heterocyclic, CN; CR3R4 = carbocyclic, heterocyclic; RR3 = atoms required to form a carbocyclic or heterocyclic ring; Q = (un)substituted alkylene, heteroalkylene; one of T an U = N, the other is N or CH; n = 0-2] were prepd. for use as CCR-3 receptor antagonists, useful in treating asthma in particular. Thus, N-[(1S)-[4-(3,4-dichlorobenzyl)piperazin-1-ylmethyl]-2-methylpropyl]-4-methylbenzamide.2HCl was prepd. from 1-(3,4-dichlorobenzyl)piperazine and BOC-L-valine in 4 steps. This compd. had an IC50 for CCR-3 receptor

binding of 0.24 .mu.M.

IT **220771-17-5P 220771-29-9P 220771-30-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylcarbamoylalkylpiperazines and -piperidines as CCR-3-receptor antagonists)

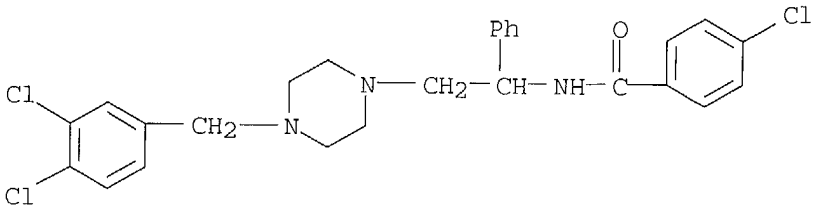
RN 220771-17-5 CAPLUS
CN Benzamide, N-[(1S)-1-cyclohexyl-2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]ethyl]-4-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

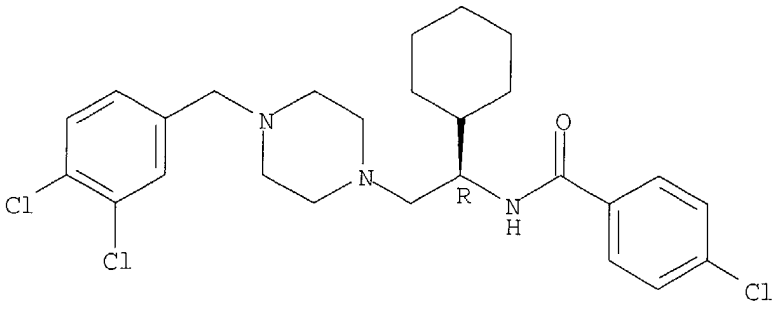
RN 220771-29-9 CAPLUS
CN Benzamide, 4-chloro-N-[2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]-1-phenylethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 220771-30-2 CAPLUS
CN Benzamide, 4-chloro-N-[(1R)-1-cyclohexyl-2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

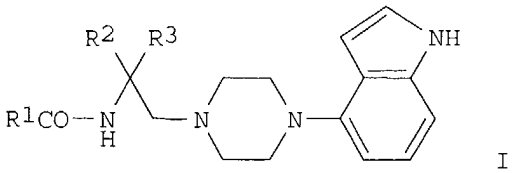
Absolute stereochemistry.



L4 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:119183 CAPLUS
DOCUMENT NUMBER: 124:289574
TITLE: N-[2-[4-(4-indolyl)piperazin-1-yl]ethyl]amides as 5HT1A antagonists useful as anxiolytic/antidepressant agents
INVENTOR(S): Yardley, John P.; Fletcher, Horace, III; Kelly, Michael G.; White, Alan C.
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5486518	A	19960123	US 1995-419342	19950410
TW 454005	B	20010911	TW 1995-84113142	19951209
JP 08319274	A2	19961203	JP 1996-76390	19960329
EP 737678	A1	19961016	EP 1996-302420	19960404
EP 737678	B1	20020619		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 219484	E	20020715	AT 1996-302420	19960404
ES 2177727	T3	20021216	ES 1996-302420	19960404
CA 2173690	AA	19961011	CA 1996-2173690	19960409
HK 1010102	A1	20021115	HK 1998-110927	19980924

PRIORITY APPLN. INFO.: US 1995-419342 A 19950410
OTHER SOURCE(S): MARPAT 124:289574
GI



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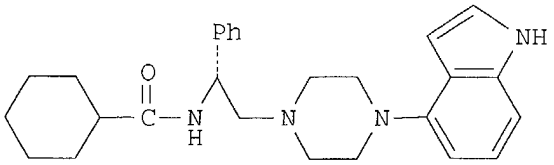


II

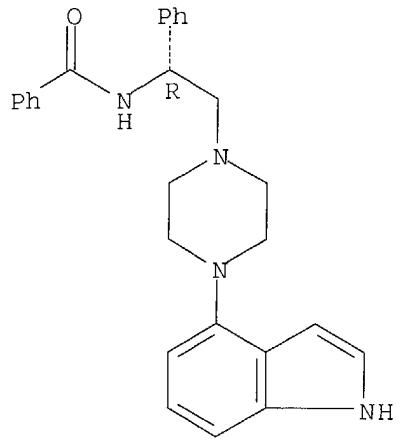
AB This invention provides anxiolytic/antidepressant agents of the formula I in which R1 is alkyl of 1 to 6 carbon atoms, cycloalkyl of 5 to 7 carbon atoms, aryl of 6 to 10 carbon atoms or arylalkyl of 7 to 12 carbon atoms; R2 is hydrogen or alkyl of 1 to 6 carbon atoms; R3 is Ph, benzyl, substituted Ph, or substituted benzyl in which the substituents are hydroxy, halo, alkoxy of 1 to 6 carbon atoms, trifluoromethyl, nitro, cyano, alkoxycarbonyl of 2 to 7 carbon atoms, amino or dialkylamino, each alkyl group having 1 to 6 carbon atoms; or a pharmaceutically acceptable salt thereof. Thus, e.g., amide coupling of benzyloxycarbonyl-D-phenylglycine with 4-piperazinylindole afforded 57.6% (R)-1-(phenylglycyl)-4-(4-indolyl)piperazine; redn. of the latter with LiAlH4 afforded 88% (R)-2-[4-(4-indolyl)piperazin-1-yl]-1-phenylethylamine; acylation of the latter with cyclohexanecarbonyl chloride afforded (R)-cyclohexanecarboxylic acid [2-[4-(4-indolyl)piperazin-1-yl]-1-phenylethyl]amide hydrochloride (II.HCl) which exhibited high affinity for the serotonin 5-HT1A receptor (IC50 = 2 nM).

IT **175595-41-2P 175595-51-4P 175595-52-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(N-[2-[4-(4-indolyl)piperazin-1-yl]ethyl]amides as 5HT1A antagonists useful as anxiolytic/antidepressant agents)

RN 175595-41-2 CAPLUS

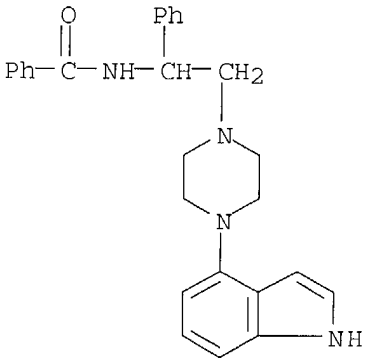
CN Benzamide, N-[2-[4-(1H-indol-4-yl)-1-piperazinyl]-1-phenylethyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



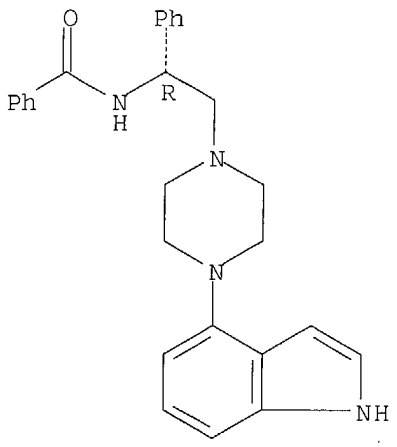
● HCl

RN 175595-51-4 CAPLUS
CN Benzamide, N-[2-[4-(1H-indol-4-yl)-1-piperazinyl]-1-phenylethyl]- (9CI) (CA INDEX NAME)



RN 175595-52-5 CAPLUS
CN Benzamide, N-[2-[4-(1H-indol-4-yl)-1-piperazinyl]-1-phenylethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:656227 CAPLUS
DOCUMENT NUMBER: 115:256227
TITLE: Preparation of alkylpiperazines as cyclooxygenase and lipoxygenase inhibitors
INVENTOR(S): Suzuki, Masahiro; Nozaki, Kenji; Kajitani, Makoto; Yasumoto, Mitsugi; Ono, Naohiko; Shindo, Takashi
PATENT ASSIGNEE(S): Taiho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9111444	A1	19910808	WO 1991-JP60	19910119
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2050492	AA	19910727	CA 1991-2050492	19910119
CA 2050492	C	19961217		
AU 9170576	A1	19910821	AU 1991-70576	19910119
AU 637670	B2	19930603		
EP 465659	A1	19920115	EP 1991-902742	19910119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5182284	A	19930126	US 1991-761974	19910925

PRIORITY APPLN. INFO.: JP 1990-16583 19900126
WO 1991-JP60 19910119
OTHER SOURCE(S): MARPAT 115:256227
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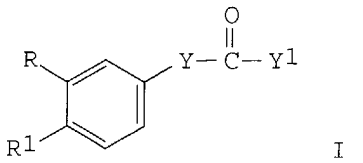

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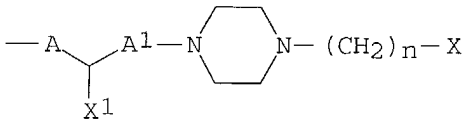

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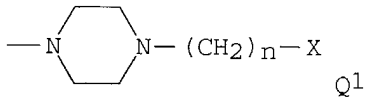

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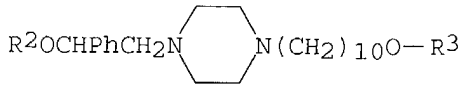


II

AB The title compds. [I; R, R1 = OH, alkoxy, halo, H, dialkyl phosphate residue, etc.; or RR1 = OCH2O; Y = CH:CH, (CH2)m; m = 0, 1; Y1 = Q, Q1; A = NH, O; A1 = CH2, CO; n = 6-20; X = OH, H, alkoxycarbonyl; X1 = H, (halo)phenyl; however, when Y1 = Q1, R = R1 = OH] and their pharmaceutically acceptable salts, inhibitors of particularly 5-lipoxygenase, useful for treatment of asthma, etc., are prepd. Stirring a mixt of (hydroxyethyl)piperazine II [R2 = H, R3 = tetrahydro-2-pyranyl] with cinnamic acid in CH2Cl2 contg. 4-(dimethylamino)pyridine and dicyclohexylcarbodiimide at room temp. for 24 h gave, after deprotection by refluxing in MeOH contg. p-MeC6H4CO3H, 69% title compd. II [R2 = cinnamoyl, R3 = H]. The IC50 values for the title compd. II [R2 = 3,4-(OH)2C6H4CH2CO, R3 = H] are 9.9 and 0.32 .mu.M against cyclooxygenase and 5-lipoxygenase, resp. Tablets, granules, capsules, etc., contg. I were formulated.

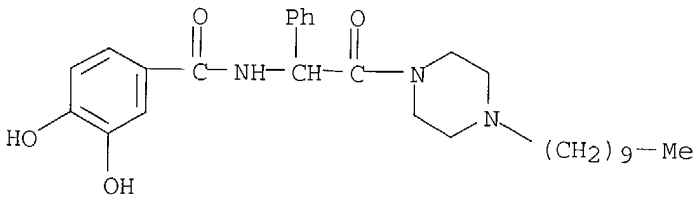
IT **137424-14-7P 137424-27-2P 137424-37-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as cyclooxygenase and lipoxygenase inhibitors)

RN 137424-14-7 CAPLUS

CN Benzamide, N-[2-(4-decyl-1-piperazinyl)-2-oxo-1-phenylethyl]-3,4-dihydroxy-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

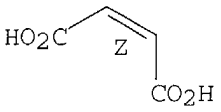
CRN 137424-13-6
CMF C29 H41 N3 O4



CM 2

CRN 110-16-7
CMF C4 H4 O4

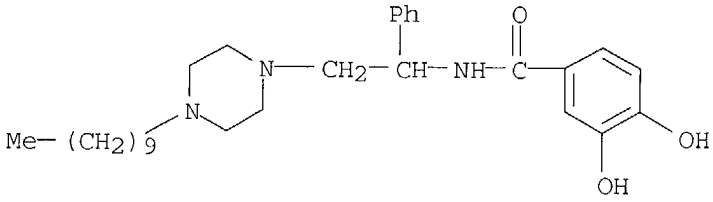
Double bond geometry as shown.



RN 137424-27-2 CAPLUS
CN Benzamide, N-[2-(4-decyl-1-piperazinyl)-1-phenylethyl]-3,4-dihydroxy-, (2Z)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 137424-26-1
CMF C29 H43 N3 O3



CM 2

CRN 110-16-7
CMF C4 H4 O4

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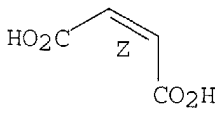
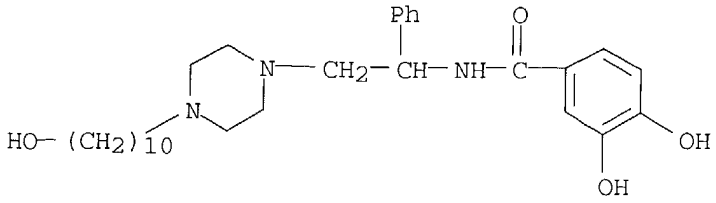


RN 137424-37-4 CAPLUS
CN Benzamide, 3,4-dihydroxy-N-[2-[4-(10-hydroxydecyl)-1-piperazinyl]-1-phenylethyl]-, (2Z)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

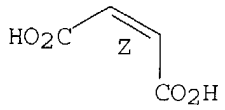
CRN 137424-36-3
CMF C29 H43 N3 O4



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



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L4 14 S L3

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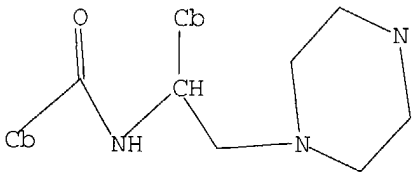
L1 STR



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PALM INTRANET

Day : Thursday
Date: 1/8/2004
Time: 17:07:32

Inventor Name Search Result

Your Search was:

Last Name = LIEBESCHUETZ
First Name = JOHN

Application#	Patent#	Status	Date Filed	Title	Inventor Name 22
60436625	Not Issued	020	12/30/2002	CHEMICAL COMPOUNDS	LIEBESCHUETZ, JOHN WALTER
60339317	Not Issued	159	12/12/2001	CHEMICAL COMPOUNDS	LIEBESCHUETZ, JOHN WALTER
60311462	Not Issued	159	08/13/2001	CHEMICAL COMPOUNDS	LIEBESCHUETZ, JOHN WALTER
60307634	Not Issued	159	07/26/2001	CHEMICAL COMPOUNDS	LIEBESCHUETZ, JOHN WALTER
60142064	Not Issued	159	07/02/1999	COMPOUNDS	LIEBESCHUETZ , JOHN WALTER
10030189	Not Issued	041	02/04/2002	SERINE PROTEASE INHIBITORS	LIEBESCHUETZ, JOHN WALTER
10030188	Not Issued	041	02/04/2002	SERINE PRETEASE INHIBITORS	LIEBESCHUETZ, JOHN WALTER
10030187	Not Issued	092	02/04/2002	SERINE PROTEASE INHIBITORS	LIEBESCHUETZ, JOHN WALTER
10030186	Not Issued	041	02/04/2002	SERINE PROTEASE INHIBITORS	LIEBESCHUETZ, JOHN WALTER
09988082	Not Issued	094	11/19/2001	META-BENZAMIDINE DERIVATIVES AS SERINE PROTEASE INHIBITORS	LIEBESCHUETZ, JOHN WALTER
09926716	Not Issued	168	12/06/2001	SERINE PROTEASE INHIBITORS	LIEBESCHUETZ, JOHN WALTER
09926712	Not Issued	071	12/06/2001	SERINE PROTEASE INHIBITORS	LIEBESCHUETZ, JOHN WALTER
09865418	6420438	150	05/29/2001	1-AMINO-7-ISOQUINOLINE DERIVATIVES AS SERINE PROTEASE INHIBITORS	LIEBESCHUETZ, JOHN WALTER
09485678	Not Issued	164	02/25/2000	META-BENZAMIDINE DERIVATIVES AS SERIN PROTEASE INHIBITORS	LIEBESCHUETZ, JOHN WALTER
09485677	6262069	150	02/25/2000	1-AMINO-7-ISOQUINOLINE	LIEBESCHUETZ,

				DERIVATIVES AS SERINE PROTEASE INHIBITORS	JOHN WALTER
07847017	5292743	150	04/08/1992	FUNGICIDAL COMPOSITIONS, FUNGICIDAL COMPOUNDS, THEIR PRODUCTION AND USE	LIEBESCHUETZ , JOHN W.
07821521	5376659	150	01/14/1992	SUBSTITUTED GUANIDINE AND AMIDINE COMPOUNDS AND FUNGICIDAL USE	LIEBESCHUETZ , JOHN W.
07468439	Not Issued	161	01/22/1990	NORPHOLINYL SILANES AND USE FOR CONTROL OF PLANT DISEASES CAUSED BY FUNGI	LIEBESCHUETZ , JOHN W.
07453632	Not Issued	161	12/20/1989	SUBSTITUTED GUANIDINE AND AMIDINE COMPOUNDS, THEIR PRODUCTION AND FUNGICIDAL USE	LIEBESCHUETZ , JOHN W.
07322140	4927812	150	03/13/1989	MORPHOLINYL SILANES AND USE FOR CONTROL OF PLANT DISEASES CAUSED BY FUNGI	LIEBESCHUETZ , JOHN W.
07299910	4935436	150	01/23/1989	SUBSTITUTED TRIAZOLES AND THEIR USE AS FUNGICIDES	LIEBESCHUETZ , JOHN W.
07111374	Not Issued	161	10/21/1987	MORPHOLINYL SILANES AND USE FOR CONTROL OF PLANT DISEASES CAUSED BY FUNGI	LIEBESCHUETZ , JOHN W.

Inventor Search Completed: No Records to Display.

Search Another: Inventor

Last Name Liebeschuetz **First Name** John

Search

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